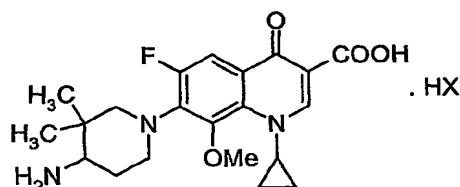


We claim:

1. A polymorph of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride, R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride, S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride and racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate, R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate, S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate having the formula I and II respectively



Formula I HX = HCl
Formula II HX = CH₃SO₃H

wherein said polymorph is selected from the group comprising

- a) a racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-3 exhibiting the following X-ray diffraction pattern
(2 θ): 5.32 \pm 0.2°, 5.68 \pm 0.2°, 9.42 \pm 0.2°, 10.06 \pm 0.2°, 10.40 \pm 0.2°, 11.40 \pm 0.2°, 11.78 \pm 0.2°, 12.98 \pm 0.2°, 13.74 \pm 0.2°, 14.38 \pm 0.2°, 14.66 \pm 0.2°, 16.02 \pm 0.2°, 22.52 \pm 0.2°, 23.74 \pm 0.2°, 24.48 \pm 0.2°, 25.22 \pm 0.2°, 27.36 \pm 0.2°, 28.74 \pm 0.2°, 31.28 \pm 0.2°, 31.72 \pm 0.2°.

- b) a R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-3 exhibiting the following X-ray diffraction pattern

(2 θ): 5.34 \pm 0.2°, 5.70 \pm 0.2°, 9.46 \pm 0.2°, 10.08 \pm 0.2°, 10.44 \pm 0.2°, 11.42 \pm 0.2°, 11.82 \pm 0.2°, 12.86 \pm 0.2°, 13.62 \pm 0.2°, 14.26 \pm 0.2°, 14.72 \pm 0.2°, 16.08 \pm 0.2°, 22.16 \pm 0.2°, 23.68 \pm 0.2°, 24.18 \pm 0.2°, 24.86 \pm 0.2°, 25.98 \pm 0.2°, 27.04 \pm 0.2°, 28.84 \pm 0.2°, 31.56 \pm 0.2°, 31.84 \pm 0.2°.

- c) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-3 exhibiting the following X-ray diffraction pattern

(2 θ): 7.04 \pm 0.2°, 7.70 \pm 0.2°, 8.06 \pm 0.2°, 12.34 \pm 0.2°, 12.78 \pm 0.2°, 13.64 \pm 0.2°, 15.40 \pm 0.2°, 16.14 \pm 0.2°, 18.62 \pm 0.2°, 19.40 \pm 0.2°, 20.64 \pm 0.2°, 21.84 \pm 0.2°, 23.22 \pm 0.2°, 26.80 \pm 0.2°, 27.88 \pm 0.2°, 29.86 \pm 0.2°, 32.30 \pm 0.2°, 33.36 \pm 0.2°, 37.02 \pm 0.2°, 39.24 \pm 0.2°.

- d) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-4 exhibiting the following X-ray diffraction pattern

(2 θ): 5.34 \pm 0.2°, 5.68 \pm 0.2°, 9.48 \pm 0.2°, 10.08 \pm 0.2°, 10.44 \pm 0.2°, 11.42 \pm 0.2°, 11.84 \pm 0.2°, 12.86 \pm 0.2°, 13.62 \pm 0.2°, 14.24 \pm 0.2°, 14.74 \pm 0.2°, 16.08 \pm 0.2°, 22.16 \pm 0.2°, 24.14 \pm 0.2°, 24.82 \pm 0.2°, 25.94 \pm 0.2°, 27.02 \pm 0.2°, 28.84 \pm 0.2°, 31.82 \pm 0.2°.

- e) a racemic-(\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-1 exhibiting the following X-ray diffraction pattern

(2 θ): 5.80 \pm 0.2°, 8.08 \pm 0.2°, 9.08 \pm 0.2°, 12.92 \pm 0.2°, 14.70 \pm 0.2°, 16.48 \pm 0.2°, 17.40 \pm 0.2°, 18.36 \pm 0.2°, 18.74 \pm 0.2°, 19.60 \pm 0.2°, 20.44 \pm 0.2°, 20.94 \pm 0.2°, 21.50 \pm 0.2°, 22.80 \pm 0.2°, 23.28 \pm 0.2°, 23.84 \pm 0.2°, 24.36 \pm 0.2°, 25.50 \pm 0.2°, 26.00 \pm 0.2°, 26.78 \pm 0.2°, 27.24 \pm 0.2°, 29.22 \pm 0.2°, 30.66 \pm 0.2°, 37.58 \pm 0.2°.

- f) a R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-1 exhibiting the following X-ray diffraction pattern

(2 θ): 5.74 \pm 0.2°, 8.02 \pm 0.2°, 9.02 \pm 0.2°, 12.84 \pm 0.2°, 14.74 \pm 0.2°, 16.46 \pm 0.2°, 17.32 \pm 0.2°, 18.38 \pm 0.2°, 19.58 \pm 0.2°, 20.38 \pm 0.2°, 20.92 \pm 0.2°, 21.48 \pm 0.2°, 22.80 \pm 0.2°, 23.80 \pm 0.2°, 24.28 \pm 0.2°, 25.62 \pm 0.2°, 26.88 \pm 0.2°, 27.32 \pm 0.2°, 28.20 \pm 0.2°, 29.16 \pm 0.2°, 30.68 \pm 0.2°.

- g) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-1 exhibiting the following X-ray diffraction pattern

X-ray powder diffraction (2 θ): 8.02 \pm 0.2°, 12.84 \pm 0.2°, 14.70 \pm 0.2°, 16.44 \pm 0.2°, 17.30 \pm 0.2°, 19.56 \pm 0.2°, 20.90 \pm 0.2°, 21.46 \pm 0.2°, 23.76 \pm 0.2°, 25.56 \pm 0.2°, 27.30 \pm 0.2°, 30.66 \pm 0.2°, 37.46 \pm 0.2°.

- h) a racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-2 exhibiting the following X-ray diffraction pattern

(2 θ): 9.40 \pm 0.2°, 9.94, 10.74 \pm 0.2°, 12.32 \pm 0.2°, 12.98 \pm 0.2°, 14.02 \pm 0.2°, 15.72 \pm 0.2°, 16.92 \pm 0.2°, 18.84 \pm 0.2°, 19.38 \pm 0.2°, 20.52 \pm 0.2°, 21.20 \pm 0.2°, 22.80, 22.96 \pm 0.2°, 24.64 \pm 0.2°, 25.54 \pm 0.2°, 28.38 \pm 0.2°, 29.92 \pm 0.2°, 30.72 \pm 0.2°, 35.92, 37.88 \pm 0.2°.

- i) a R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-2 exhibiting the following X-ray diffraction pattern

(2 θ): 8.04 \pm 0.2°, 9.36 \pm 0.2°, 10.06 \pm 0.2°, 10.84 \pm 0.2°, 12.24 \pm 0.2°, 12.88 \pm 0.2°, 13.94 \pm 0.2°, 15.26 \pm 0.2°, 15.76 \pm 0.2°, 16.82 \pm 0.2°, 17.16 \pm 0.2°, 18.78 \pm 0.2°, 19.62 \pm 0.2°, 20.42 \pm 0.2°, 21.22 \pm 0.2°, 22.30 \pm 0.2°, 23.16 \pm 0.2°, 24.26 \pm 0.2°, 24.62 \pm 0.2°, 25.54 \pm 0.2°, 28.38 \pm 0.2°, 30.00 \pm 0.2°, 30.84 \pm 0.2°, 38.18 \pm 0.2°.

- j) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-2 exhibiting the following X-ray diffraction pattern

(2 θ): 9.38 \pm 0.2°, 10.04 \pm 0.2°, 12.28 \pm 0.2°, 12.94 \pm 0.2°, 13.98 \pm 0.2°, 15.78 \pm 0.2°, 16.86 \pm 0.2°, 18.80 \pm 0.2°, 19.62 \pm 0.2°, 21.24 \pm 0.2°, 22.32 \pm 0.2°, 23.18 \pm 0.2°, 24.64 \pm 0.2°, 25.56 \pm 0.2°, 28.44 \pm 0.2°, 30.02 \pm 0.2°, 30.90 \pm 0.2°, 39.74 \pm 0.2°.

2. The compound according to claim 1 corresponding to polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
3. The compound according to claim 1 corresponding to polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
4. The compound according to claim 1 corresponding to polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
5. The compound according to claim 1 corresponding to polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
6. The compound according to claim 1 corresponding to polymorph B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
7. The compound according to claim 1 corresponding to polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
8. The compound according to claim 1 corresponding to polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.

9. The compound according to claim 1 corresponding to polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
- 5 10. The compound according to claim 1 corresponding to polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
- 10 11. The compound according to claim 1 corresponding to polymorph B-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
- 15 12. A process for preparing polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern
- (2 θ): $5.32 \pm 0.2^\circ$, $5.68 \pm 0.2^\circ$, $9.42 \pm 0.2^\circ$, $10.06 \pm 0.2^\circ$, $10.40 \pm 0.2^\circ$, $11.40 \pm 0.2^\circ$, $11.78 \pm 0.2^\circ$, $12.98 \pm 0.2^\circ$, $13.74 \pm 0.2^\circ$, $14.38 \pm 0.2^\circ$, $14.66 \pm 0.2^\circ$, $16.02 \pm 0.2^\circ$, $22.52 \pm 0.2^\circ$, $23.74 \pm 0.2^\circ$, $24.48 \pm 0.2^\circ$, $25.22 \pm 0.2^\circ$, $27.36 \pm 0.2^\circ$, $28.74 \pm 0.2^\circ$, $31.28 \pm 0.2^\circ$, $31.72 \pm 0.2^\circ$.
- 20 which process comprises the steps of
- a) drying polymorphic A-1 form of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C , optionally under reduced pressure sufficient to effect
- 25 transformation to polymorphic form A-3; and
- b) recovering the polymorphic form A-3 as a crystalline solid.
- 30 13. A process for preparing polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride, exhibiting the X-ray diffraction pattern
- (2 θ): $5.32 \pm 0.2^\circ$, $5.68 \pm 0.2^\circ$, $9.42 \pm 0.2^\circ$, $10.06 \pm 0.2^\circ$, $10.40 \pm 0.2^\circ$, $11.40 \pm 0.2^\circ$, $11.78 \pm 0.2^\circ$, $12.98 \pm 0.2^\circ$, $13.74 \pm 0.2^\circ$, $14.38 \pm 0.2^\circ$, $14.66 \pm 0.2^\circ$, $16.02 \pm 0.2^\circ$, $22.52 \pm 0.2^\circ$, $23.74 \pm 0.2^\circ$, $24.48 \pm 0.2^\circ$, $25.22 \pm 0.2^\circ$, $27.36 \pm 0.2^\circ$, $28.74 \pm 0.2^\circ$, $31.28 \pm 0.2^\circ$, $31.72 \pm 0.2^\circ$.

which process comprises the steps of :

- a) drying polymorphic A-2 form of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C, optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and
- b) recovering the polymorphic form A-3 as a crystalline solid.

14. A process for preparing polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern

(2 θ): $5.34 \pm 0.2^\circ$, $5.70 \pm 0.2^\circ$, $9.46 \pm 0.2^\circ$, $10.08 \pm 0.2^\circ$, $10.44 \pm 0.2^\circ$, $11.42 \pm 0.2^\circ$, $11.82 \pm 0.2^\circ$, $12.86 \pm 0.2^\circ$, $13.62 \pm 0.2^\circ$, $14.26 \pm 0.2^\circ$, $14.72 \pm 0.2^\circ$, $16.08 \pm 0.2^\circ$, $22.16 \pm 0.2^\circ$, $23.68 \pm 0.2^\circ$, $24.18 \pm 0.2^\circ$, $24.86 \pm 0.2^\circ$, $25.98 \pm 0.2^\circ$, $27.04 \pm 0.2^\circ$, $28.84 \pm 0.2^\circ$, $31.56 \pm 0.2^\circ$, $31.84 \pm 0.2^\circ$.

which process comprises the steps of

- a. drying polymorphic A-1 form of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C, optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and
- b. recovering the polymorphic form A-3 as a crystalline solid.

15. A process for preparing polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern

(2 θ): $5.34 \pm 0.2^\circ$, $5.70 \pm 0.2^\circ$, $9.46 \pm 0.2^\circ$, $10.08 \pm 0.2^\circ$, $10.44 \pm 0.2^\circ$, $11.42 \pm 0.2^\circ$, $11.82 \pm 0.2^\circ$, $12.86 \pm 0.2^\circ$, $13.62 \pm 0.2^\circ$, $14.26 \pm 0.2^\circ$, $14.72 \pm 0.2^\circ$, $16.08 \pm 0.2^\circ$, $22.16 \pm 0.2^\circ$, $23.68 \pm 0.2^\circ$, $24.18 \pm 0.2^\circ$, $24.86 \pm 0.2^\circ$, $25.98 \pm 0.2^\circ$, $27.04 \pm 0.2^\circ$, $28.84 \pm 0.2^\circ$, $31.56 \pm 0.2^\circ$, $31.84 \pm 0.2^\circ$.

which process comprises the steps of

- a) drying polymorphic A-2 form of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C,

optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and

b) recovering the polymorphic form A-3 as a crystalline solid.

16. A process for preparing polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride, exhibiting the X-ray diffraction pattern

(2 θ): $5.34 \pm 0.2^\circ$, $5.68 \pm 0.2^\circ$, $9.48 \pm 0.2^\circ$, $10.08 \pm 0.2^\circ$, $10.44 \pm 0.2^\circ$, $11.42 \pm 0.2^\circ$, $11.84 \pm 0.2^\circ$, $12.86 \pm 0.2^\circ$, $13.62 \pm 0.2^\circ$, $14.24 \pm 0.2^\circ$, $14.74 \pm 0.2^\circ$, $16.08 \pm 0.2^\circ$, $22.16 \pm 0.2^\circ$, $24.14 \pm 0.2^\circ$, $24.82 \pm 0.2^\circ$, $25.94 \pm 0.2^\circ$, $27.02 \pm 0.2^\circ$, $28.84 \pm 0.2^\circ$, $31.82 \pm 0.2^\circ$.

which process comprises the steps of:

a) drying polymorphic A-3 form of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C , optionally under reduced pressure sufficient to effect transformation to polymorphic form A-4; and

b) recovering the polymorphic form A-4 as a crystalline solid.

17. A process for preparing polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern

(2 θ): $7.04 \pm 0.2^\circ$, $7.70 \pm 0.2^\circ$, $8.06 \pm 0.2^\circ$, $12.34 \pm 0.2^\circ$, $12.78 \pm 0.2^\circ$, $13.64 \pm 0.2^\circ$, $15.40 \pm 0.2^\circ$, $16.14 \pm 0.2^\circ$, $18.62 \pm 0.2^\circ$, $19.40 \pm 0.2^\circ$, $20.64 \pm 0.2^\circ$, $21.84 \pm 0.2^\circ$, $23.22 \pm 0.2^\circ$, $26.80 \pm 0.2^\circ$, $27.88 \pm 0.2^\circ$, $29.86 \pm 0.2^\circ$, $32.30 \pm 0.2^\circ$, $33.36 \pm 0.2^\circ$, $37.02 \pm 0.2^\circ$, $39.24 \pm 0.2^\circ$.

which process comprises the steps of

a) suspending or dissolving polymorphic form A-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride in water, if necessary by heating;

b) stirring the mixture to form a suspension or a solution followed by adding a water-miscible organic solvent;

c) recovering the polymorphic form A-3 as a crystal upon cooling the solution and filtrating; and

d) drying resultant crystals to constant weight to provide the polymorph A-3.

18. A process for preparing polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern

(2 θ): 7.04 \pm 0.2°, 7.70 \pm 0.2°, 8.06 \pm 0.2°, 12.34 \pm 0.2°, 12.78 \pm 0.2°, 13.64 \pm 0.2°, 15.40 \pm 0.2°, 16.14 \pm 0.2°, 18.62 \pm 0.2°, 19.40 \pm 0.2°, 20.64 \pm 0.2°, 21.84 \pm 0.2°, 23.22 \pm 0.2°, 26.80 \pm 0.2°, 27.88 \pm 0.2°, 29.86 \pm 0.2°, 32.30 \pm 0.2°, 33.36 \pm 0.2°, 37.02 \pm 0.2°, 39.24 \pm 0.2°.

which process comprises the steps of:

- a) suspending or dissolving polymorphic form A-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride in water, if necessary by heating;
- b) adding a water-miscible organic solvent and stirring resulting mixture for a sufficient period of time to effect the transformation completely to polymorphic form A-3;
- c) recovering the polymorphic form A-3 as a crystal upon cooling the solution and filtering; and
- d) drying the resultant crystals to a constant weight to yield the product A-3..

19. A process for preparing polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride, from said polymorphs A-1 or A-2 or A-4 which process comprises

- a) suspending or dissolving polymorphic form A-1 or A-2 or A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride in water, if necessary by heating;
- b) stirring the mixture at that temperature to form a suspension or a solution followed by adding a water-miscible organic solvent;
- c) recovering the polymorphic form A-3 as a crystal upon cooling the solution and filtrating;
- d) drying the resultant crystals to a constant weight to yield the product of the invention.

20. A process for preparing polymorph B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- a) suspending or dissolving racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid in a suitable organic solvent to form a suspension/solution;
- b) heating the suspension/solution and adding methane sulfonic acid at the elevated temperature;
- c) heating the reaction mixture at elevated temperature sufficient to effect transformation to the mesylate polymorphic form B-1;
- d) recovering the polymorphic form B-1 as a crystal upon cooling the solution and filtering;
- e) drying crystals to a constant weight to yield the polymorph B-1 of the invention.

21. A process for preparing polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- a) suspending or dissolving R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid in a suitable organic solvent to form a suspension/solution;
- b) heating the suspension/solution and adding methane sulfonic acid at the elevated temperature;
- c) heating the reaction mixture at elevated temperature sufficient to effect transformation to the mesylate polymorphic form B-1;
- d) recovering the polymorphic form B-1 as a crystal upon cooling the solution and filtering;
- e) drying crystals to a constant weight to yield the polymorph B-1 of the invention.

22. A process for preparing polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- a) suspending or dissolving (-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid in a suitable organic solvent to form a suspension/solution;
- b) heating the suspension/solution and adding methane sulfonic acid at the elevated temperature;

- c) heating the reaction mixture at elevated temperature sufficient to effect transformation to the mesylate polymorphic form B-1;
- d) recovering the polymorphic form B-1 as a crystal upon cooling the solution and filtering;
- 5 e) drying crystals to a constant weight to yield the polymorph B-1 of the invention.

23. A process for preparing polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- 10 a) dissolving crystalline polymorphic form B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate in water by heating to form a solution;
- b) cooling the solution and adding an aqueous-miscible organic solvent;
- c) allowing the reaction mixture to stand for a sufficient time to effect transformation to polymorphic form B-2,
- 15 d) recovering the polymorphic form B-2 as a crystal upon cooling and filtering;
- e) drying resultant crystals to a constant weight to yield the polymorph B-2 of the invention.

20 24. A process for preparing polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- 25 a) dissolving crystalline polymorphic form B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate in water by heating to form a solution;
- b) cooling the solution and adding an aqueous-miscible organic solvent;
- c) allowing the reaction mixture to stand for a sufficient time to effect transformation to polymorphic form B-2,
- d) recovering the polymorphic form B-2 as a crystal upon cooling and filtering;
- 30 e) drying resultant crystals to a constant weight to yield the polymorph B-2 of the invention.

- f) A process for preparing polymorph B-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises
- g) dissolving crystalline polymorphic form B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate in water by heating to form a solution;
- h) cooling the solution and adding an aqueous-miscible organic solvent;
- i) allowing the reaction mixture to stand for a sufficient time to effect transformation to polymorphic form B-2,
- j) recovering the polymorphic form B-2 as a crystal upon cooling and filtering;
- k) drying resultant crystals to a constant weight to yield the polymorph B-2 of the invention.
25. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of the compound of claim 1.
26. The method of claim 25 wherein said compound is polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
27. The method of claim 25 wherein said compound is polymorph A-3 of R- (+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
28. The method of claim 25 wherein said compound is polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
29. The method of claim 25 wherein said compound is polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.

30. The method of claim 25 wherein said compound is polymorph B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.

5 31. The method of claim 25 wherein said compound is polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.

10 32. The method of claim 25 wherein said compound is polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.

15 33. The method of claim 25 wherein said compound is polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.

20 34. The method of claim 25 wherein said compound is polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.

35. The method of claim 25 wherein said compound is polymorph B-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.

25 36. A pharmaceutical composition for treating bacterial infection in a mammal comprising an effective amount of the compound of claim 1 and a pharmaceutically acceptable carrier.

30 37. The composition of claim 36 wherein said compound is polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.

38. The composition of claim 36 wherein said compound is polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.

39. The composition of claim 36 wherein said compound is polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid hydrochloride.

5 40. The composition of claim 36 wherein said compound is polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid hydrochloride.

10 41. The composition of claim 36 wherein said compound is polymorph B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate.

15 42. The composition of claim 36 wherein said compound is polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate.

20 43. The composition of claim 36 wherein said compound is polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate.

44. The composition of claim 36 wherein said compound is polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate.

25 45. The composition of claim 36 wherein said compound is polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate.

30 46. The composition of claim 36 wherein said compound is polymorph B-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxoquinoline -3-carboxylic acid mesylate. 47. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 36.

47. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 37.

5 48. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 38.

49. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 39.

10 50. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 40.

51. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 41.

15 52. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 42.

20 53. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 43.

54. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to claim 44.

25 55. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 45.

56. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 46.